

in which

$R^1$  represents a saturated or aromatic 5- or 6-membered heterocycle having up to 3 heteroatoms from the group consisting of S, N and O, which may be attached via a nitrogen atom,

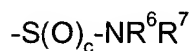
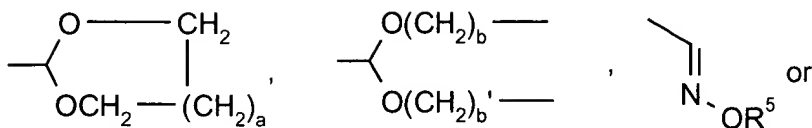
and which is optionally substituted up to 2 times by identical or different radicals from the group (i) consisting of

hydrogen, amino, azido, formyl, mercaptyl, carboxyl, hydroxyl, straight-chain or branched acyl, alkoxy, alkylthio or alkoxycarbonyl having in each case up to 6 carbon atoms, nitro, cyano, halogen, phenyl or straight-chain or branched alkyl having up to 6 carbon atoms which for its part may be substituted by hydroxyl, amino, azido, carboxyl, straight-chain or branched acyl, alkoxy, alkoxycarbonyl or acylamino having in each case up to 5 carbon atoms or by a radical of the formula  $-OR^4$

in which

$R^4$  represents straight-chain or branched acyl having up to 5 carbon atoms

and/or is substituted by a radical of the formula



in which

a, b and b' are identical or different and each represents a number 0, 1, 2 or 3,

R<sup>5</sup> is hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms,

c is a number 1 or 2 and

R<sup>6</sup> and R<sup>7</sup> are identical or different and each represents hydrogen or straight-chain or branched alkyl having up to 10 carbon atoms which is optionally substituted by cycloalkyl having 3 to 8 carbon atoms or by aryl having 6 to 10 carbon atoms which for its part may be substituted by halogen,

or

represents aryl having 6 to 10 carbon atoms which is optionally substituted by halogen,

or

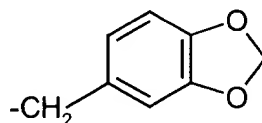
represents cycloalkyl having 3 to 7 carbon atoms,

or

$R^6$  and  $R^7$  together with the nitrogen atom form a 5- to 7-membered saturated heterocycle which may optionally contain a further oxygen atom or a radical  $-NR^8$

in which

$R^8$  represents hydrogen, straight-chain or branched alkyl having up to 4 carbon atoms or a radical of the formula



or benzyl or phenyl where the ring systems are optionally substituted by halogen,

and which is substituted by at least one radical from the group (ii) consisting of

a 3- to 8-membered ring which may be saturated, unsaturated or partially unsaturated, contains 1 to 4 heteroatoms from the group consisting of N, O, and S, said S heteroatoms optionally bearing 1 or 2 oxygens, and which may also be attached via N, imidazolyl, imidazolyl, imidazolidinyl, morpholinyl, piperidinyl, piperazinyl, pyrrolidinyl, triazolyl, pyrrolyl, thiomorpholinyl, S-oxothiomorpholinyl and S,S-dioxothiomorpholinyl being particularly preferred, and which is optionally mono- or polysubstituted by

a 5- or 6-membered ring which contains two oxygen atoms as ring members and forms a bicyclic unit or a spiro unit with the 3-

B'  
8-membered ring, and/or by hydroxyl, cyano, straight-chain or branched alkyl, acyl or alkoxycarbonyl having in each case up to 6 carbon atoms, where alkyl, acyl and alkoxycarbonyl may be substituted by hydroxyl, amino, halogen, carboxyl, straight-chain or branched acyl, alkoxy, alkoxycarbonyl or acylamino having in each case up to 5 carbon atoms, and

an aryl ring having 6 to 10 carbon atoms which is substituted by straight-chain or branched alkyl having up to 4 carbon atoms,

and

(C<sub>2</sub>-C<sub>10</sub>)alkenyl, (C<sub>2</sub>-C<sub>10</sub>)alkinyl, (C<sub>7</sub>-C<sub>20</sub>)alkyl, which is optionally substituted by aryl, heteroaryl, halogen, cyano, dialkylamino, cycloalkyl, alkylamine, hydroxyl, amino, azido, carboxyl, straight-chain or branched acyl, alkoxy, alkoxycarbonyl or acylamino having in each case up to 5 carbon atoms or by a radical of the formula -OR<sup>4</sup>

in which

R<sup>4</sup> represents straight-chain or branched acyl having up to 5 carbon atoms

and

(C<sub>1</sub>-C<sub>6</sub>)alkyl which is substituted 1- to 3 times by aryl, heteroaryl, halogen(s), cyano, dialkylamino, alkylamino or cycloalkyl

and

acyl, which is substituted by halogen(s), particularly preferably by fluorine, or by acyloxy, arylthio or heteroarylthio,

B'  
and

-NO or radicals of the formulae  $\text{-SO}_3\text{H}$  and  $\text{-S(O)}_d\text{R}^9$ ,

in which

d represents a number 1 or 2,

$\text{R}^9$  represents straight-chain or branched alkyl having 1 to 10 carbon atoms, cycloalkyl having 3 to 8 carbon atoms, aryl having 6 to 10 carbon atoms or an unsaturated 5- to 6-membered heterocycle having up to 3 heteroatoms from the group consisting of S, N and O, where the ring systems may optionally be substituted by halogen or by straight-chain or branched alkyl or alkoxy having in each case up to 4 carbon atoms,

and

a radical of the formula  $\text{PO(OR}^{10}\text{)(OR}^{11}\text{)}$

in which

$\text{R}^{10}$  and  $\text{R}^{11}$  are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 8 carbon atoms or cycloalkyl having 3 to 8 carbon atoms, aryl having 6 to 10 carbon atoms or benzyl,

and

oxycycloalkyl having 3 to 8 ring members or radicals of the formulae  
 $-\text{CON}=\text{C}(\text{NH}_2)_2$ ,  $-\text{C}=\text{NH}(\text{NH}_2)$ ,  $-\text{NH}-\text{C}(=\text{NH})\text{NH}_2$  or  $(\text{CO})_e\text{NR}^{12}\text{R}^{13}$

in which

e represents a number 0 or 1,

$\text{R}^{12}$  and  $\text{R}^{13}$  are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 14 carbon atoms or cycloalkyl having 3 to 14 carbon atoms, aryl having 6 to 10 carbon atoms or a saturated or unsaturated 3- to 10-membered ring having up to 5 heteroatoms from the group consisting of N, O, S, where the abovementioned radicals may optionally be substituted by aryl having 6 to 10 carbon atoms, heterocyclyl, cycloalkyl having 3 to 7 carbon atoms, hydroxyl, amino or straight-chain or branched alkoxy, acyl or alkoxycarbonyl having in each case up to 6 carbon atoms,

and, in the case that  $e = 1$ ,

$\text{R}^{12}$  and  $\text{R}^{13}$ , together with the nitrogen atom to which they are attached, may also form a 5- or 6-membered ring having up to 3 heteroatoms from the group consisting of N, O, S, which may optionally be substituted up to 3 times by hydroxyl, alkoxy or alkyl having in each case up to 8 carbon atoms,

and, in the case that  $e = 0$ ,

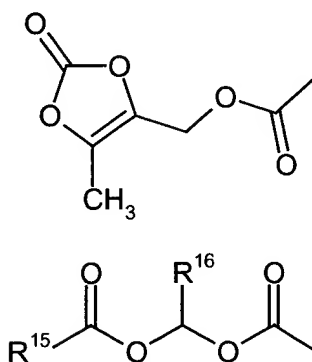
$R^{12}$  and  $R^{13}$  may also represent straight-chain, branched or cyclic acyl having up to 14 carbon atoms, hydroxyalkyl, straight-chain or branched alkoxycarbonyl or acyloxyalkyl having in each case up to 6 carbon atoms, or a radical of the formula - $SO_2R^{14}$

in which

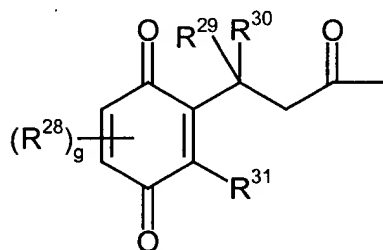
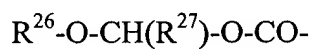
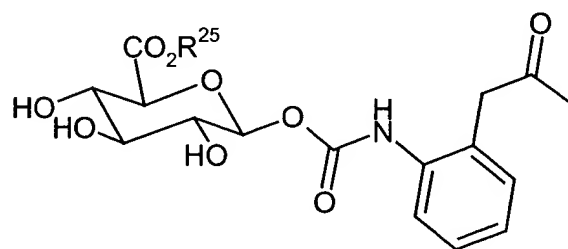
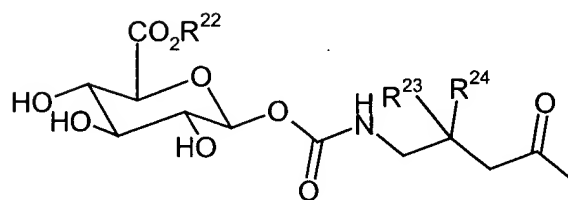
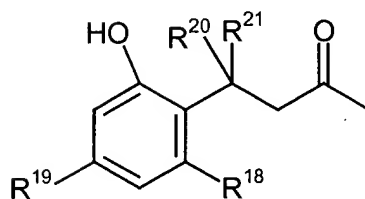
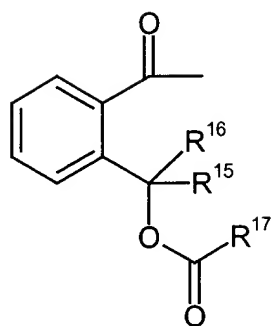
$R^{14}$  represents straight-chain or branched alkyl having up to 4 carbon atoms,

and/or

$R^{12}$  and  $R^{13}$  also represent radicals of the formulae



B'



in which



$R^{15} - R^{16}$  and  $R^{18} - R^{31}$  are identical or different and each represents hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms,

*B*  
g represents a number 0, 1 or 2,

and

$R^{17}$  represents phenyl, straight-chain or branched alkyl having up to 6 carbon atoms or cycloalkyl having 3 to 8 carbon atoms,

with the proviso that, if  $e = 0$ ,  $R^{12}$  and  $R^{13}$  do not simultaneously represent hydrogen,

or

$R^1$  represents a purine radical which may optionally be substituted up to three times by halogen, azido, cyano, hydroxyl, amino, monoalkylamino having up to 5 carbon atoms, dialkylamino having in each case up to 5 carbon atoms, alkyl having up to 5 carbon atoms and/or alkoxy having up to 5 carbon atoms,

$R^2$  and  $R^3$ , together with the double bond, form a 6-membered saturated or aromatic heterocycle having up to 3 heteroatoms from the group consisting of N, S and O,

which is optionally substituted up to three times by identical or different substituents from the group consisting of formyl, carboxyl, hydroxyl, mercaptyl, straight-chain or branched acyl, alkylthio or alkoxycarbonyl having in each case up to 6 carbon atoms, nitro, cyano, halogen or straight-chain or branched alkyl or alkoxy having in

each case up to 6 carbon atoms which for its part may be substituted by hydroxyl, amino, carboxyl, straight-chain or branched acyl, alkoxy or alkoxycarbonyl having in each case up to 5 carbon atoms,

B' and/or which is optionally substituted by a group of the formula  $-NR^{32}R^{33}$

in which

$R^{32}$  and  $R^{33}$  are identical or different and each represents hydrogen or straight-chain or branched alkyl having up to 6 carbon atoms,

or

$R^{32}$  represents hydrogen and

$R^{33}$  represents acyl,

and/or which is optionally substituted by phenyl which for its part may be substituted up to 2 times by identical or different substituents from the group consisting of halogen and straight-chain or branched alkyl or alkoxy having in each case up to 6 carbon atoms,

and/or which is optionally substituted by a group of the formula  $-N=CH-NR^{34}R^{35}$

in which

$R^{34}$  and  $R^{35}$  are identical or different and each represents hydrogen, phenyl or straight-chain or branched alkyl having up to 6 carbon atoms,

B' A represents a 5- or 6-membered aromatic or saturated heterocycle having up to 3 heteroatoms from the group consisting of S, N and O or represents phenyl, which are optionally substituted up to 3 times by identical or different substituents from the group consisting of amino, mercaptyl, hydroxyl, formyl, carboxyl, straight-chain or branched acyl, alkylthio, alkyloxyacyl, alkoxy or alkoxycarbonyl having in each case up to 6 carbon atoms, nitro, cyano, trifluoromethyl, azido, halogen, phenyl or straight-chain or branched alkyl having up to 6 carbon atoms which for its part may be substituted by hydroxyl, carboxyl, straight-chain or branched acyl, alkoxy or alkoxycarbonyl having in each case up to 5 carbon atoms, and/or is substituted by a group of the formula  $-(CO)_h-NR^{36}R^{37}$

in which

h represents a number 0 or 1,

$R^{36}$  and  $R^{37}$  are identical or different and each represents hydrogen, phenyl, benzyl or straight-chain or branched alkyl or acyl having in each case up to 5 carbon atoms,

and their stereoisomeric forms and salts.

2. (Amended) Compounds of the formula (I) according to Claim 1

in which

$R^1$  represents a saturated or aromatic 6-membered heterocycle having up to 3 heteroatoms from the group consisting of S, N and O, which may be attached via a nitrogen atom,

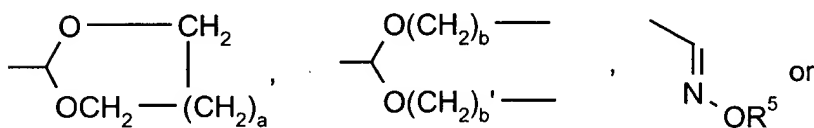
and which is optionally substituted up to 2 times by identical or different radicals from the group (i) consisting of

hydrogen, amino, azido, formyl, mercaptyl, carboxyl, hydroxyl, straight-chain or branched acyl, alkoxy, alkylthio or alkoxycarbonyl having in each case up to 6 carbon atoms, nitro, cyano, halogen, phenyl or straight-chain or branched alkyl having up to 6 carbon atoms which for its part may be substituted by hydroxyl, amino, azido, carboxyl, straight-chain or branched acyl, alkoxy, alkoxycarbonyl or acylamino having in each case up to 5 carbon atoms or by a radical of the formula -OR<sup>4</sup>

in which

R<sup>4</sup> represents straight-chain or branched acyl having up to 5 carbon atoms

and/or is substituted by a radical of the formula



in which

a, b and b' are identical or different and each represents a number 0, 1, 2 or 3,

R<sup>5</sup> is hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms,

and which is substituted by at least one radical from the group (ii) consisting of

B  
a 3- to 8-membered ring which may be saturated, unsaturated or partially unsaturated, contains 1 to 4 heteroatoms from the group consisting of N, O, and S, said S heteroatoms optionally bearing 1 or 2 oxygens, and which may also be attached via N, imidazolyl, imidazolynyl, imidazolidinyl, morpholinyl, piperidinyl, piperazinyl, pyrrolidinyl, triazolyl, pyrrolyl, thiomorpholinyl, S-oxothiomorpholinyl and S,S-dioxothiomorpholinyl being particularly preferred, and which is optionally mono- or polysubstituted by

a 5- or 6-membered ring which contains two oxygen atoms as ring members and forms a bicyclic unit or a spiro unit with the 3- to 8-membered ring, and/or by hydroxyl, cyano, straight-chain or branched alkyl, acyl or alkoxycarbonyl having in each case up to 6 carbon atoms, where alkyl, acyl and alkoxycarbonyl may be substituted by hydroxyl, amino, halogen, carboxyl, straight-chain or branched acyl, alkoxy, alkoxycarbonyl or acylamino having in each case up to 5 carbon atoms, and

an aryl ring having 6 to 10 carbon atoms which is substituted by straight-chain or branched alkyl having up to 4 carbon atoms,

and

(C<sub>2</sub>-C<sub>10</sub>)alkenyl, (C<sub>2</sub>-C<sub>10</sub>)alkynyl, (C<sub>7</sub>-C<sub>20</sub>)alkyl, which is optionally substituted by aryl, heteroaryl, halogen, cyano, dialkylamino, cycloalkyl, alkylamine, hydroxyl, amino, azido, carboxyl, straight-chain or branched

acyl, alkoxy, alkoxy carbonyl or acylamino having in each case up to 5 carbon atoms or by a radical of the formula  $-OR^4$

B' /  
in which

$R^4$  represents straight-chain or branched acyl having up to 5 carbon atoms

and

$(C_1-C_6)$ alkyl which is substituted 1- to 3 times by aryl, heteroaryl, halogen(s), cyano, dialkylamino, alkylamino or cycloalkyl

and

acyl, which is substituted by halogen(s), particularly preferably by fluorine, or by acyloxy, arylthio or heteroarylthio,

and

-NO or radicals of the formulae  $-SO_3H$  and  $-S(O)_dR^9$ ,

in which

d represents a number 1 or 2,

$R^9$  represents straight-chain or branched alkyl having 1 to 10 carbon atoms, cycloalkyl having 3 to 8 carbon atoms, aryl having 6 to 10 carbon atoms or an unsaturated 5- to 6-membered heterocycle having up to 3 heteroatoms from

the group consisting of S, N and O, where the ring systems may optionally be substituted by halogen or by straight-chain or branched alkyl or alkoxy having in each case up to 4 carbon atoms,

and

a radical of the formula  $\text{PO}(\text{OR}^{10})(\text{OR}^{11})$

in which

$\text{R}^{10}$  and  $\text{R}^{11}$  are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 8 carbon atoms or cycloalkyl having 3 to 8 carbon atoms, aryl having 6 to 10 carbon atoms or benzyl,

and

oxycycloalkyl having 3 to 8 ring members or radicals of the formulae  $-\text{CON}=\text{C}(\text{NH}_2)_2$ ,  $-\text{C}=\text{NH}(\text{NH}_2)$ ,  $-\text{NH}-\text{C}(=\text{NH})\text{NH}_2$  or  $(\text{CO})_e\text{NR}^{12}\text{R}^{13}$

in which

e represents a number 0 or 1,

$\text{R}^{12}$  and  $\text{R}^{13}$  are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 14 carbon atoms or cycloalkyl having 3 to 14 carbon atoms, aryl having 6 to 10 carbon atoms or a saturated or unsaturated 3- to 10-membered ring having up to 5 heteroatoms from the group consisting of N, O, S, where the abovementioned

radicals may optionally be substituted by aryl having 6 to 10 carbon atoms, heterocyclyl, cycloalkyl having 3 to 7 carbon atoms, hydroxyl, amino or straight-chain or branched alkoxy, acyl or alkoxycarbonyl having in each case up to 6 carbon atoms,

and, in the case that  $e = 1$ ,

$R^{12}$  and  $R^{13}$ , together with the nitrogen atom to which they are attached, may also form a 5- or 6-membered ring having up to 3 heteroatoms from the group consisting of N, O, S, which may optionally substituted up to 3 times by hydroxyl, alkoxy or alkyl having in each case up to 8 carbon atoms,

and, in the case that  $e = 0$ ,

$R^{12}$  and  $R^{13}$  may also represent straight-chain, branched or cyclic acyl having up to 14 carbon atoms, hydroxyalkyl, straight-chain or branched alkoxycarbonyl or acyloxyalkyl having in each case up to 6 carbon atoms, or a radical of the formula - $SO_2R^{14}$

in which

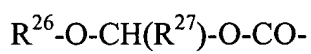
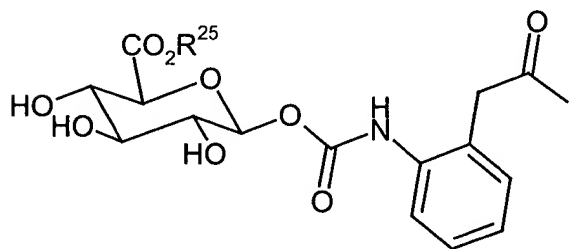
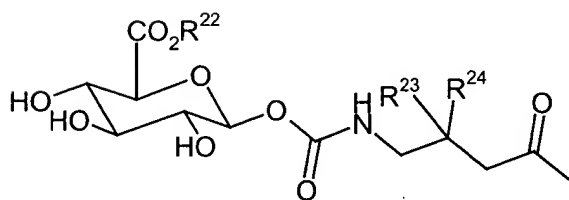
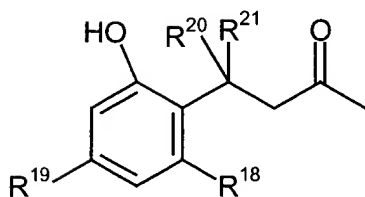
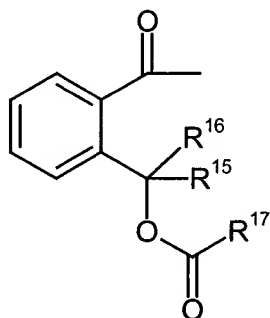
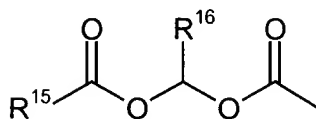
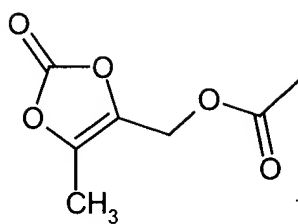
$R^{14}$  represents straight-chain or branched alkyl having up to 4 carbon atoms,

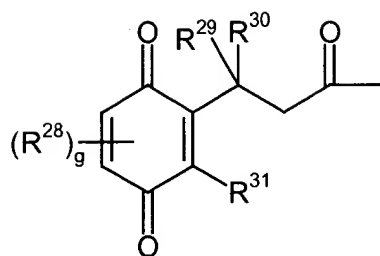
and/or

$R^{12}$  and  $R^{13}$  also represent radicals of the formulae



B'





in which

$R^{15}$  -  $R^{16}$  and  $R^{18}$  -  $R^{31}$  are identical or different and each represents hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms,

$g$  represents a number 0, 1 or 2,

and

$R^{17}$  represents phenyl, straight-chain or branched alkyl having up to 6 carbon atoms or cycloalkyl having 3 to 8 carbon atoms,

with the proviso that, if  $e = 0$ ,  $R^{12}$  and  $R^{13}$  do not simultaneously represent hydrogen,

or

$R^1$  represents a purine radical which may optionally be substituted up to three times by halogen, azido, cyano, hydroxyl, amino, monoalkylamino having up to 5 carbon atoms, dialkylamino having in each case up to 5 carbon atoms, alkyl having up to 5 carbon atoms and/or alkoxy having up to 5 carbon atoms,

$R^2$  and  $R^3$ , together with the double bond, form a fused pyridyl, pyrimidinyl, pyrazinyl or pyridazinyl ring,

which are optionally substituted up to 2 times by identical or different substituents from the group consisting of formyl, carboxyl, hydroxyl, mercaptyl, straight-chain or branched acyl, alkylthio or alkoxycarbonyl having in each case up to 5 carbon atoms, nitro, cyano, azido, fluorine, chlorine, bromine or straight-chain or branched alkyl or alkoxy having in each case up to 5 carbon atoms which for its part may be substituted by hydroxyl, amino, carboxyl, straight-chain or branched acyl, alkoxy or alkoxycarbonyl having in each case up to 4 carbon atoms, and/or

the abovementioned heterocyclic rings are optionally substituted by a group of the formula  $-NR^{32}R^{33}$

in which

$R^{32}$  and  $R^{33}$  are identical or different and represent hydrogen or straight-chain or branched alkyl having up to 4 carbon atoms

or

$R^{32}$  represents hydrogen

and

$R^{33}$  represents formyl

and/or the abovementioned fused pyridyl, pyrimidinyl, pyrazinyl or pyridazinyl rings are optionally substituted by phenyl which for its part may

be substituted by fluorine, chlorine, bromine or by straight-chain or branched alkyl or alkoxy having in each case up to 4 carbon atoms,

B' A represents thienyl, tetrahydropyranyl, tetrahydrofuranyl, phenyl, morpholinyl, pyrimidyl, pyrazinyl, pyridazinyl or pyridyl which are optionally substituted up to 2 times by identical or different substituents from the group consisting of hydroxyl, formyl, carboxyl, straight-chain or branched acyl, alkylthio, alkyloxyacyl, alkoxy or alkoxycarbonyl having in each case up to 4 carbon atoms, fluorine, chlorine and bromine,

and their stereoisomeric forms and salts.

3. (Amended) Compounds of the formula (I) according to Claim 1

in which

R<sup>1</sup> represents a pyrimidine radical

which is optionally substituted up to 2 times by identical or different radicals from the group (i) consisting of

hydrogen, amino, hydroxyl, alkoxy or alkoxycarbonyl having in each case up to 3 carbon atoms, cyano or halogen,

and which is substituted by at least one radical from the group (ii) consisting of

a 5- to 6-membered ring which may be saturated, unsaturated or partially unsaturated, which contains 1 to 3 heteroatoms from the group consisting of N, O, and S, said S heteroatoms optionally bearing 1 or 2 oxygens, and

which may also be attached via N, imidazolyl, imidazolinyl, imidazolidinyl, morpholinyl, piperidinyl, piperazinyl, pyrrolidinyl, triazolyl, pyrrolyl and thiomorpholinyl being particularly preferred, and which is optionally mono- or polysubstituted by a 5-membered ring which contains two oxygen atoms as ring members and which forms, with the 3- to 8-membered ring, a bicyclic unit or a spiro unit, such as, for example, a 1,4-dioxo-8-azaspiro[4.5]decane or 1.5-dioxo-9-azaspiro[5.5]undecane radical, and/or by hydroxyl, cyano, straight-chain or branched alkyl, acyl or alkoxycarbonyl having in each case up to 3 carbon atoms, where alkyl, acyl and alkoxycarbonyl may be substituted by hydroxyl, amino, halogen, carboxyl, straight-chain or branched acyl or alkoxy having in each case up to 3 carbon atoms,

and

a tolyl radical,

and

C<sub>7</sub>-alkyl which is optionally substituted by cyano,

and

(C<sub>1</sub>-C<sub>5</sub>)alkyl, which is 1- to 3-times substituted by halogen(s), cyano, aryl and acyloxy,

and

-NO or radicals of the formula -S(O)<sub>d</sub>R<sup>9</sup>,

in which

d represents a number 1 or 2,

*B*<sup>1</sup>  
R<sup>9</sup> represents straight-chain or branched alkyl having 1 to 4 carbon atoms, aryl having 6 carbon atoms or thienyl,

and

a radical of the formula PO(OR<sup>10</sup>)(OR<sup>11</sup>),

in which

R<sup>10</sup> and R<sup>11</sup> are identical or different and each represents straight-chain or branched alkyl having up to 3 carbon atoms,

and

radicals of the formulae -NH-C(=NH)NH<sub>2</sub> and (CO)<sub>e</sub>NR<sup>12</sup>R<sup>13</sup>

in which

e represents a number 0 or 1,

R<sup>12</sup> and R<sup>13</sup> are identical or different and each represents hydrogen, straight-chain or branched alkyl having up to 4 carbon atoms or cycloalkyl having 3 carbon atoms, where the abovementioned radicals may optionally be substituted by aryl having 6 carbon atoms, furyl, cycloalkyl having 3 carbon atoms, hydroxyl, straight-chain alkoxy having up to 2 carbon atoms,

and, in the case that  $e = 1$ ,

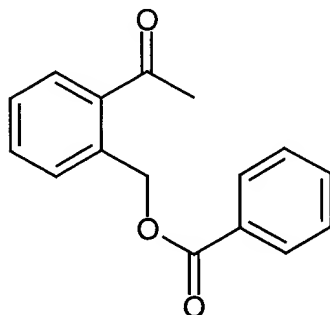
$R^{12}$  and  $R^{13}$ , together with the nitrogen atom to which they are attached, may also form a 5- or 6-membered ring having up to 2 heteroatoms from the group consisting of N, O, S which may optionally be substituted up to 2 times by hydroxyl or methyl,

and, in the case that  $e = 0$ ,

$R^{12}$  and  $R^{13}$  may also represent straight-chain acyl having up to 14 carbon atoms,

and/or

$R^{12}$  and  $R^{13}$  also represent a radical of the formula



with the proviso that in the case that  $e = 0$ ,  $R^{12}$  and  $R^{13}$  do not simultaneously represent hydrogen,

or

$R^1$  represents a purine radical which may optionally be substituted up to two times by halogen, azido, amino, monoalkylamino having up to 4 carbon atoms and/or methyl,

$R^2$  and  $R^3$  together with the double bond form a pyridyl or pyrimidinyl ring,

A represents phenyl or pyrimidyl, which are optionally substituted by fluorine, chlorine or bromine,

and their stereoisomeric forms and salts.

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6. (Twice amended) Process for preparing the compounds of the formula (I) according to Claim 1, characterized in that depending on the various meanings of the heterocycles listed above under  $R^2$  and  $R^3$

[A] compounds of the formula



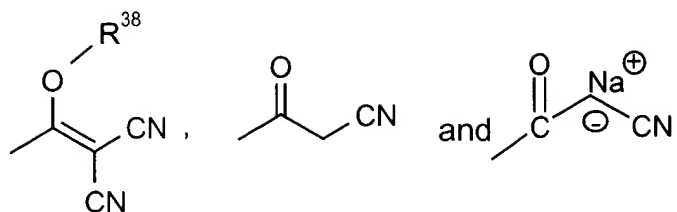
in which

$R^1$  is as defined above in Claim 1,

and

D represents radicals of the formulae





in which

$\text{R}^{38}$  represents  $\text{C}_1\text{-C}_4\text{-alkyl}$

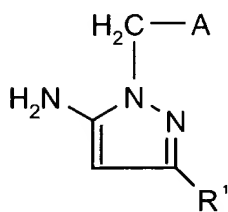
are converted, by reaction with compounds of the formula (III)



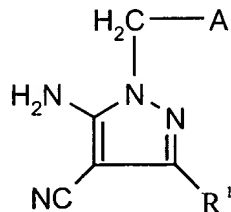
in which

A is as defined above in Claim 1,

in inert solvents, into the compounds of the formula (IV) or (IVa)



(IV) and



(IVa)

in which

A and  $\text{R}^1$  are each as defined above in Claim 1,

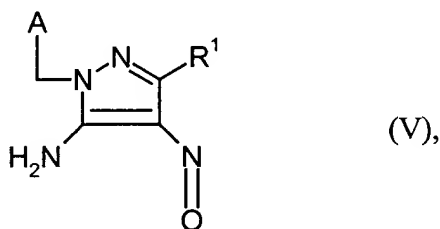
and, in the case of the compounds of the formula (IVa), are subsequently cyclized with carboxylic acids, nitriles, formamides or guanidium salts,

and, in the case of the compounds of the formula (IV), are cyclized with 1,3-dicarbonyl derivatives, their salts, tautomers, enol ethers or enamines, in the presence of acids and

B<sup>2</sup>

or

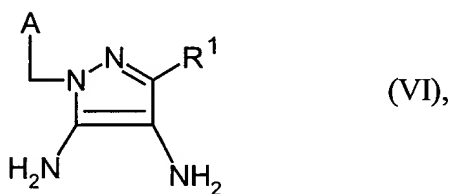
[B] in the case that R<sup>2</sup> and R<sup>3</sup> together form a pyrazine ring, compounds of the formula (IV) are initially converted by nitrosation into the compounds of the formula (V)



in which

A and R<sup>1</sup> are each as defined above in Claim 1,

in a second step, the compounds of the formula (VI)



in which

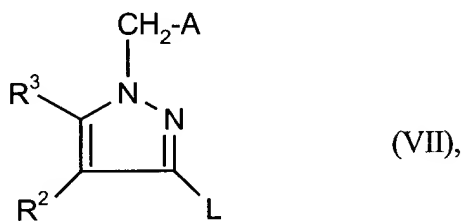
A and R<sup>1</sup> are each as defined above in Claim 1,

are prepared by a reduction,

and these are subsequently cyclized with 1,2-dicarbonyl compounds,

or

[C] compounds of the formula (VII)



in which

$A^1$ ,  $R^2$  and  $R^3$  are each as defined above in Claim 1,

and

$L$  represents a radical of the formula  $-SnR^{39}R^{40}R^{41}$ ,  $ZnR^{42}$ , iodine, bromine or triflate


in which

$R^{39}$ ,  $R^{40}$  and  $R^{41}$  are identical or different and each represents straight-chain or branched alkyl having up to 4 carbon atoms

and

$R^{42}$  represents halogen

are reacted with compounds of the formula (VIII)

  $R^1-T$  (VIII),

in which

$R^1$  is as defined above in Claim 1,

and

in the case that  $L = SnR^{39}R^{40}R^{41}$  or  $ZnR^{42}$ ,

$T$  represents triflate or represents halogen,

and,

in the case that  $L =$  iodine, bromine or triflate,

$T$  represents a radical of the formula  $SnR^{39'}R^{40'}R^{41'}$ ,  $ZnR^{42'}$  or  $BR^{43'}R^{44'}$

in which

$R^{39'}$ ,  $R^{40'}$ ,  $R^{41'}$  and  $R^{42'}$  have the meanings of  $R^{39}$ ,  $R^{40}$ ,  $R^{41}$  and  $R^{42}$  given above and are identical to or different from them,

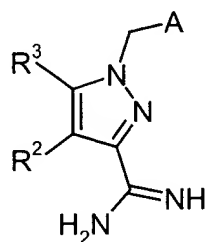
$R^{43'}$  and  $R^{44'}$  are identical or different and each represents hydroxyl, aryloxy having 6 to 10 carbon atoms or straight-chain or branched alkyl or

alkoxy having in each case up to 5 carbon atoms, or together form a 5- or 6-membered carbocyclic ring

in a palladium-catalysed reaction in inert solvents,

or

[D] in the case that  $R^1$  represents an optionally substituted pyrimidine radical, amidines of the formula (IX)

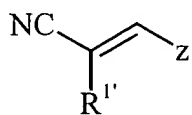


(IX),

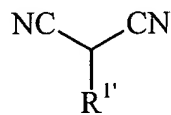
in which

A,  $R^2$  and  $R^3$  are each as defined above in Claim 1,

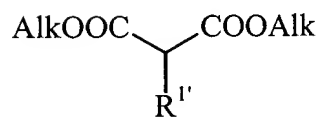
are reacted with compounds of the formula (X), (Xa), (Xb) or (Xc)



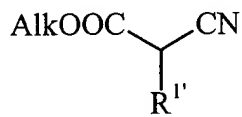
(X)



(Xa)



(Xb)



(Xc)

in which

$R^{1'}$  represents the optionally substituted cycloalkyl radical listed above under  $R^1$ ;

Alk represents straight-chain or branched alkyl having up to 8 carbon atoms,

and

Z represents an  $NH_2$  group, a monoalkylamino group having up to 7 carbon atoms, a dialkylamino group having up to 7 carbon atoms, a piperidinyl or morpholinyl radical which is attached via the nitrogen, hydroxyl, alkoxy having up to 7 carbon atoms, acyloxy having up to 7 carbon atoms or aroyloxy having 6 to 10 carbon atoms,

and, in the case of the groups  $-S(O)_cNR^6R^7$  and  $-S(O)_cNR^{6'}R^{7'}$ , starting from the unsubstituted compounds of the formula (I), reacted initially with thionyl chloride and, in a second step, with the appropriate amines

and the substituents listed under X, Y,  $R^1$ ,  $R^2$ ,  $R^3$  and/or  $R^4$  are modified or introduced by acylation of free amino groups or hydroxyl groups, chlorination, catalytic hydrogenation, reduction, oxidation, removal of protective groups and/or nucleophilic substitution.

7. (Twice amended) Medicaments, comprising a compound of formula (I) according to Claim 1 and a pharmaceutically acceptable carrier.

Z represents an  $\text{NH}_2$  group, a monoalkylamino group having up to 7 carbon atoms, a dialkylamino group having up to 7 carbon atoms, a piperidinyl or morpholinyl radical which is attached via the nitrogen, hydroxyl, alkoxy having up to 7 carbon atoms, acyloxy having up to 7 carbon atoms or aryloxy having 6 to 10 carbon atoms,

and, in the case of the groups  $-\text{S}(\text{O})_c\text{NR}^6\text{R}^7$  and  $-\text{S}(\text{O})_c\text{NR}^{6'}\text{R}^{7'}$ , starting from the unsubstituted compounds of the general formula (I), reacted initially with thionyl chloride and, in a second step, with the appropriate amines

and the substituents listed under X, Y,  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^3$  and/or  $\text{R}^4$  are modified or introduced by acylation of free amino groups or hydroxyl groups, chlorination, catalytic hydrogenation, reduction, oxidation, removal of protective groups and/or nucleophilic substitution.

7. (Amended) ~~Medicaments, comprising at least one compound of the general formula (I) according to Claim 1 and a pharmaceutically-acceptable carrier.~~

12. (Amended) A method of treating a cardiovascular disease, comprising administering to a mammal an effective amount of a compound according to Claim 1.
13. (Amended) The method of claim 12, wherein said cardiovascular disease is hypertension.
14. (Amended) A method of treating thromboembolic disorders and ischemia, comprising administering to a mammal an effective amount of a compound according to Claim 1.

15. (Amended) A method of treating sexual dysfunction, comprising administering to a mammal an effective amount of a compound according to Claim 1.
16. (Amended) A method of treating inflammation, comprising administering to a mammal an effective amount of a compound according to Claim 1.
17. (Amended) The method of claim 12, 13, 14, 15 or 16, wherein the compounds of the general formula (I) according to Claim 1 are administered in combination with an organic nitrate or NO donor.
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- A4
18. (New) The process of claim 6, wherein said compound of the general formula (IV) further are cyclized with 1,3-dicarbonyl derivatives, their salts, tautomers, enol ethers or enamines in the presence of acids under microwave irradiation.
  19. (New) The process of claim 6, wherein said 1,2-dicarbonyl compound is aqueous glyoxal solution.
  20. (New) The method of claim 12, 13, 14, 15 or 16, wherein the compounds of the general formula (I) according to Claim 1 are administered in combination with compounds which inhibit the degradation of cyclic guanosine monophosphate (cGMP).
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